CLAIM STATUS

1. (Original) Process for preparing compounds of the formula (I)

$$(R^F)$$
 (I)

where

R¹ is in each case independently C₁-C₁₂-alkyl, free or protected formyl, chlorine or bromine or a radical of the formulae (IIa) or (IIb)

A-B-D-E (IIa)

A-E (IIb)

where, each independently,

- A is absent or is a C₁-C₈-alkylene radical and
- B is absent or is oxygen, sulphur or NR^2 where R^2 is hydrogen or C_1 - C_8 -alkyl and
- D is a carbonyl group and

- E is C₁-C₂-alkyl, C₁-C₂-alkoxy, NH(C₁-C₂-alkyl) or N(C₁-C₂-alkyl)₂ or is a cyclic amino radical having 4 to 12 carbon atoms and
- n is an integer of 0 to 4-m and
- R^F is fluorine, $\mathsf{C}_1\text{-}\mathsf{C}_{12}\text{-}\mathsf{fluoroalkyl}$, $-\mathsf{O}(\mathsf{C}_1\text{-}\mathsf{C}_{12}\text{-}\mathsf{fluoroalkyl})$ or $-\mathsf{S}(\mathsf{C}_1\text{-}\mathsf{C}_{12}\text{-}\mathsf{fluoroalkyl})$ and
- m is an integer of 1 to 3,

comprising

a) converting compounds of the formula (II)

$$(R^{F}) \xrightarrow{m} (II)$$

where R1 and RF, and also n and m, are as defined

in the presence of formaldehyde and in the presence of secondary amines of the formula (III)

where R³ and R⁴ are each independently C₁-C₈-alkyl, or NR³R⁴ as a whole is a cyclic amino radical having a total of 4 to 12 carbon atoms

to compounds of the formula (IV)

$$(R^{F}) \xrightarrow{m} (IV)$$

where R1, R3, R4 and RF, m and n, are as defined above, and

b) reacting the compounds of the formula (IV) with compounds of the formula (V)

where the R^5 radicals are each independently hydrogen, $C_{1-}C_{12}$ -alkyl, $C_{2-}C_{12}$ -alkenyl, $C_{5-}C_{14}$ -aryl or $C_{6-}C_{15}$ -arylalkyl

to convert them to compounds of the formula (VI)

$$(R^F)$$
 (VI)

where R1, RF, m and n are each as defined under formula (i) and

the R⁵ radicals are each independently hydrogen, C_1 - C_{12} -alkyl, C_2 - C_{12} -alkenyl, C_5 - C_{14} -aryl or C_8 - C_{15} -arylalkyl, and

CH-7905

- c) reacting the compounds of the formula (VI) with cyanide.
- 2. (Original) Process according to Claim 1, characterized in that R¹ is in each case independently C₁-C₄-alkyl, free or protected formyl, or chlorine.
- 3. (Original) Process according to Claim 1, characterized in that n is 0 or 1.
- 4. (Original) Process according to Claim 1, characterized in that R^F is fluorine, C₁-C₄-fluoroalkyl, -O(C₁-C₄-fluoroalkyl) or -S(C₁-C₄-fluoroalkyl).
- 5. (Original) Process according to Claim 1, characterized in that R³ and R⁴ are each an identical C₁-C₈-alkyl radical.
- 6. (Original) Process according to Claim 1, characterized in that R⁵ is in each case identically hydrogen, C₁-C₁₂-alkyl, C₂-C₁₂-alkenyl, C₅-C₁₄-aryl or C₆-C₁₅-arylalkyl.
- (Original) Process according to Claim 1, characterized in that the molar ratio of formaldehyde to compounds of the formula (II) in step a) is 0.8 to 10.
- 8. (Original) Process according to Claim 1, characterized in that the molar ratio of secondary amines of the formula (III) to compounds of the formula (II) in step a) is 0.8 to 10.
- (Original) Process according to Claim 1, characterized in that the molar ratio
 of compounds of the formula (V) to compounds of the formula (IV) in step a) is
 1.5 to 10.
- 10. (Original) Process according to Claim 1, characterized in that alkali metal cyanides are used in step c).

CH-7905 5

11. (Original) Process according to Claim 1, characterized in that, in a further step d), the compounds of the formula (I) are reacted with compounds of the formulae (VIIa) or (VIIb)

where, in formula (VIIa),

- $$\label{eq:continuous} \begin{split} \mathsf{R}^5 &\quad \text{is hydrogen, C_{1}-C}_{12}$-alkyl, C_{2}-C}_{12}$-alkenyl, C_{5}-C}_{14}$-aryl, C_{6}-C}_{15}$-arylalkyl, $O(C_{1}$-C}_{12}$-alkyl), $O(C_{5}$-C}_{14}$-aryl), $O(C_{8}$-C}_{15}$-arylalkyl), $O(C_{2}$-C}_{12}$-alkenyl), $NH(C_{1}$-C}_{12}$-alkyl), $NH(C_{5}$-C}_{14}$-aryl), $NH(C_{6}$-C}_{15}$-arylalkyl), $N(C_{1}$-C}_{12}$-alkyl)_{2}, $N(C_{5}$-C}_{14}$-aryl)_{2}$ or $N(C_{6}$-C}_{15}$-arylalkyl)_{2}, $and $N(C_{5}$-C}_{14}$-aryl)_{2}$.$$
- X is OCOR⁵, fluorine, chlorine, bromine or iodine, and

where, in formula (VIIb),

- R^6 is C_1 - C_{12} -alkyl, C_5 - C_{14} -aryl or C_6 - C_{15} -arylalkyl and
- Y is O₃SR⁷, chlorine, bromine or iodine where R⁷ is C₁-C₁₂-alkyl, C₅-C₁₄-aryl or C₁-C₁₂-fluoroalkyl,

to give compounds of the formula (VIII)

$$(R^F)$$
 $(VIII)$

where

CH-7905

- R⁸ is R⁵CO or R⁶ as defined above, and R¹, R^F, m and n are each as defined under formula (I).
- 12. (Original) Process of Claim 1 for preparing compounds of the formula (I)

$$(R^F)$$
 (I)

where

 R^1 is in each case independently C_1 - C_{12} -alkyl, free or protected formyl, chlorine or bromine or a radical of the formulae (IIa) or (IIb)

where, each independently,

- A is absent or is a C₁-C₈-alkylene radical and
- B is absent or is oxygen, sulphur or NR²
 where R² is hydrogen or C₁-C₈-alkyl and
- D is a carbonyl group and

- is C₁-C₈-alkyl, C₁-C₈-alkoxy, NH(C₁-C₈-alkyl) or N(C₁-C₈-alkyl)₂ or is a cyclic amino radical having 4 to 12 carbon atoms and
- n is an integer of 0 to 4-m and
- R^F is fluorine, C₁-C₁₂-fluoroalkyl, -O(C₁-C₁₂-fluoroalkyl) or -S(C₁-C₁₂-fluoroalkyl) and
- m is an integer of 1 to 3,

comprising reacting compounds of the formula (VI)

$$(R^{F})$$
 (VI)

where R1, RF, m and n are each as defined under formula (I) and

the R⁵ radicals are each independently hydrogen, C_1 - C_{12} -alkyl, C_2 - C_{12} -alkenyl, C_5 - C_{14} -aryl or C_6 - C_{15} -arylalkyl with cyanide.

- 13. 21. (Cancelled)
- (Original) A process for preparing active ingredients for medicaments comprising providing compounds of Claim 15.
- 23. (Original) A process for treating cardiovascular disordersor diseases comprising administering medicaments containing active ingredients based on compounds of Claim 15 to subjects in need thereof.

CH-7905